What is claimed is:

1. A peptide comprising an amino acid sequence having a cleavage site specific for an enzyme having a proteolytic activity of human kallikrein 2 (hK2), wherein the peptide is 20 or fewer amino acids in length.

2. The peptide of claim 1, wherein the sequence comprises: the amino acids

$$X_4X_3X_2X_1,$$

wherein $X_4$ is from 0 to 20 amino acids; $X_3$ is lysine, serine, alanine, histadine or glutamine; $X_2$ is arginine, phenylalanine, lysine or histidine; and $X_1$ is arginine, histidine or lysine.

3. The peptide of claim 2, further comprising $X_{-1}$ linked to $X_1$, wherein $X_{-1}$ is from 1 to 10 amino acids.

4. The peptide of claim 2, wherein $X_{-1}$ is leucine, alanine or serine.

5. The peptide of claim 2, further comprising amino acid $X_5$ linked to the amino terminus of $X_4$, wherein $X_5$ is from 0 to 15 amino acids and wherein $X_4$ is glutamine, alanine, histidine or lysine.

6. The peptide of claim 5, further comprising amino acid $X_6$ linked to the amino terminus of $X_5$, wherein $X_6$ is from 0 to 14 amino acids and wherein $X_5$ is glycine, glutamic acid, or alanine.

7. The peptide of claim 3, wherein $X_{-1}$ comprises leucine.

8. The peptide of claim 6, wherein the amino acid sequence is selected from the group consisting of Ala-Gln-Lys-Arg-Arg, Gly-Lys-Ser-Arg-Arg, Glu-Gln-Lys-Arg-Arg, Glu-Ala-Lys-Arg-Arg, Gly-Gln-Lys-Arg-Arg, Gly-Ala-Lys-Arg-Arg, Gly-Lys-Lys-Arg-Arg, Gly-His-Lys-Arg-Arg, Gly-Lys-Ala-Phe-Arg, Glu-Lys-Ala-Gln-Arg, and Glu-Lys-Ala-Arg-Arg.

9. The peptide of claim 1, further comprising a capping group attached to the N-terminus of the peptide, the group inhibiting endopeptidase activity on the peptide.

10. The peptide of claim 9, wherein the capping group is selected from the group consisting of acetyl, morpholinocarbonyl, benzyloxycarbonyl, glutaryl and succinyl substituents.

11. A peptide of claim 1, further comprising an added substituent which renders the peptide water-soluble.

12. A peptide of claim 11, wherein the added substituent is a polysaccharide.

13. A peptide of claim 12, wherein the polysaccharide is selected from the group consisting of modified or unmodified dextran, cyclodextrin, and starch.

14. A peptide of claim 2, further comprising an antibody attached to the amino terminus of $X_5$, or $X_4$ when $X_5$ is 0.

15. A peptide composition comprising a plurality of peptides, each peptide comprising an amino acid sequence having a cleavage site specific for an enzyme having a proteolytic activity of human kallikrein 2 (hK2), wherein each peptide has 20 or fewer amino acids.

16. A polynucleotide encoding the peptide of claim 1.

17. A composition comprising a prodrug, the prodrug comprising

a therapeutically active drug; and

a peptide of claim 1,

wherein the peptide is linked to the therapeutically active drug to inhibit the therapeutic activity of the drug, and wherein the therapeutically active drug is cleaved from the peptide upon proteolysis by an enzyme having a proteolytic activity of human kallikrein 2 (hK2).

18. The composition of claim 17, wherein the peptide is linked directly to the therapeutic drug.

19. The composition of claim 18, wherein the peptide is linked directly to a primary amine group on the drug.

20. The composition of claim 17, wherein the peptide is linked to the therapeutic drug via a linker.

21. The composition of claim 20, wherein the linker is an amino acid sequence.

22. The composition of claim 21, wherein the linker comprises a leucine residue.

23. The composition of claim 17, wherein the therapeutically active drug inhibits a SERCA pump.

24. The composition of claim 23, wherein the therapeutically active drug is selected from the group of primary amine containing thapsigargins or thapsigargin derivatives.

25. The composition of claim 17, wherein the therapeutically active drug intercalates into a polynucleotide.

26. The composition of claim 25, wherein the therapeutically active drug is an anthracycline antibiotic.

27. The composition of claim 26, wherein the therapeutically active drug is selected from the group consisting of doxorubicin, daunorubicin, epirubicin and idarubicin.

28. The composition of claim 17, wherein the peptide is Gly-Gly-Lys-Ala-Arg-Arg-Leu.

29. The composition of claim 17, wherein the therapeutic drug is a compound belonging to the group of thapsigargins which have been derivatized with a moiety containing a primary amine group, the peptide is Gly-Gly-Lys-Ala-Arg-Arg-Leu, and the linker is selected from the group consisting of unsubstituted or alkyl-, aryl-, halo-, alkoxy-, alkenyl-, amido- or amino-substituted $CO\text{-}(CH{=}CH)_{n1}\text{-}(CH_2)_{n2}\text{-}Ar\text{-}NH_2$, $CO\text{-}(CH_2)_{n2}\text{-}(CH{=}CH)_{n1}\text{-}Ar\text{-}NH_2$, $CO\text{-}(CH_2)_{n2}\text{-}(CH{=}CH)_{n1}\text{-}CO\text{-}NH\text{-}Ar\text{-}NH_2$, $CO\text{-}(CH{=}CH)_{n1}\text{-}(CH_2)_{n2}\text{-}CO\text{-}NH\text{-}Ar\text{-}NH_2$, $CO\text{-}(CH_2)_{n3}\text{-}NH_2$, and $CO\text{-}(CH_2)_{n3}\text{-}NH\text{-}CO\text{-}CH(R_4)\text{-}NH_2$, wherein n1 and n2 are from 0 to 5, n3 is from 0 to 15, Ar is any substituted or unsubstituted aryl group, attachment of $NH_2$ to Ar is in a ortho, meta or para position with respect to the remainder of the linker, and $R_4$ is any naturally occurring amino acid side chain.

30. The composition of claim 17, wherein the therapeutically active drug has an $IC_{50}$ toward ER $Ca^{2+}$-ATPase of at most 500 nM.

31. The composition of claim 30, wherein the therapeutically active drug has an $IC_{50}$ toward

ER $Ca^{2+}$-ATPase of at most 50 nM.

32. The composition of claim 17, wherein the therapeutically active drug has an $LC_{50}$ toward hK2-producing tissue of at most 20 μM.

33. The composition of claim 32, wherein the therapeutically active drug has an $LC_{50}$ toward hK2-producing tissue of less than or equal to 2.0 μM.

34. The composition of claim 17, further comprising an added substituent which renders the composition water soluble.

35. The composition of claim 34, wherein the added substituent is a polysaccharide.

36. The composition of claim 35, wherein the polysaccharide is selected from the group consisting of modified or unmodified dextran, cyclodextrin and starch.

37. A method of producing a prodrug, the method comprising the step of linking
a therapeutically active drug and
a peptide of claim 1,
wherein the linking of the peptide to the drug inhibits the therapeutic activity of the drug.

38. The method of claim 37, wherein the therapeutically active drug has a primary amine.

39. The method of claim 37, wherein the prodrug contains a linker between the peptide and the drug.

40. The method of claim 39, wherein the linker comprises Leu.

41. The method of claim 37, wherein the peptide further comprises a capping group attached to the N-terminus of the peptide, the group inhibiting endopeptidase activity on the peptide.

42. The method of claim 41, wherein the capping group is selected from the group consisting of acetyl, morpholinocarbonyl, benzyloxycarbonyl, glutaryl, and succinyl substituents.

43. A method of treating a hK2-producing cell proliferative disorder, the method comprising administering the composition of claim 17 in a therapeutically effective amount to a subject having the cell proliferative disorder.

44. The method of claim 43, wherein the disorder is benign.

45. The method of claim 43, wherein the disorder is malignant.

46. The method of claim 45, wherein the malignant disorder is prostate cancer.

47. The method of claim 45, wherein the malignant disorder is breast cancer.

48. A method of detecting human kallikrein 2-producing tissue, the method comprising:

contacting the tissue with a composition comprising

a detectably labeled peptide of claim 1 for a period of time sufficient to allow cleavage of the peptide; and

detecting the detectable label.

49. The method of claim 48, wherein the peptide further comprises a capping group attached to the N-terminus of the peptide, the group inhibiting endopeptidase activity.

50. The method of claim 49, wherein the capping group is selected from the group consisting of acetyl, morpholinocarbonyl, benzyloxycarbonyl, glutaryl, and succinyl substituents.

51. The method of claim 48, wherein the detectable label is a fluorescent label.

52. The method of claim 51, wherein the fluorescent label is selected from the group consisting of 7-amino-4-methyl coumarin, 7-amino-4-trifluoromethyl coumarin, rhodamine 110, and 6-aminoquinoline.

53. The method of claim 48, wherein the detectable label is a radioactive label.

54. The method of claim 53, wherein the radioactive label is selected from the group consisting of tritium, carbon-14, and iodine-125.

55. The method of claim 48, wherein the detectable label is a chromophoric label.

56. The method of claim 48, wherein the detectable label is a chemiluminescent label.

57. A method of selecting a human kallikrein 2 activatable prodrug wherein the prodrug is substantially specific for target tissue comprising hK2-producing cells, the method comprising:

a) linking a peptide of claim 1 to a therapeutic drug to produce a peptide-drug

composition;

b) contacting the composition with cells of the target tissue;

c) contacting the composition with cells of a non-target tissue; and

selecting complexes that are substantially toxic towards target tissue cells, but which are not substantially toxic towards non-target tissue cells.

58. A method of determining the activity of hK2 in a sample containing hK2, the method comprising:

a) contacting the sample with a composition comprising a detectably labeled peptide of claim 1 for a period of time sufficient to allow cleavage of the peptide;

b) detecting the detectable label to yield a detection level;

c) comparing the detection level with a detection level obtained from contacting the detectably labeled peptide with a standard hK2 sample.

59. A method of imaging hK2-producing tissue, the method comprising:

a) administering a peptide linked to a lipophilic imaging label to a subject having or suspected of having a hK2 producing associated cell-proliferative disorder;

b) allowing a sufficient period of time to pass to allow cleavage of the peptide by hK2 and to allow clearance of uncleaved peptide from the subject to provide a reliable imaging of the imaging label; and

c) imaging the subject.

60. The peptide of claim 1, wherein $X_1$ and $X_2$ are arginine.

61. The peptide of claim 60, wherein $X_3$ is lysine.

62. The peptide of claim 60, wherein $X_3$ is serine.